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论文

N-甲基-*N*-(α-取代萘甲基)取代苄胺类化合物的合成及抗真菌活性

李科;张万年;吕加国;周有俊;杨济秋;万维勤

第二军医大学药学院药化教研室，上海 200433

摘要：

报道25个*N*-甲基-*N*-(α-取代萘甲基)取代苄胺类化合物的合成及抗真菌活性。抑菌测试结果表明,目标化合物对于8种试验菌种均有不同程度的抑菌活性,化合物6,7,8,10,11和21等活性为naftifine的4～20倍,化合物8,10,11和21等活性为butenafine的2～10倍,化合物8,9,10,11和21等对*Sporotrichum schencki*及*Aspergilus fumigatus*的活性为clotrimazole的8～15倍,化合物7,8,9和21等对*Cryptococus neoformans*亦表现出较高活性,MIC为0.31～1.25μg·ml^{-1}。

关键词： 取代苄胺类 抗真菌活性

SYNTHESIS AND ANTIFUNGAL ACTIVITIES OF *N*-METHYL-*N*-(α-SUBSTITUTED-NAPHTHALENEMETHYL)SUBSTITUTED BENZYLAMINES

K Li;WN Zhang;JG Lu;YJ Zhou;JQ Yang and WQ Wan

Abstract:

Twenty-five *N*-methyl-*N*-(α-substituted-naphthalenemethyl)substitutedbenzylamines were synthesized and twenty-four of them are reported for the first time. Results of preliminary biological tests suggested that all title compounds are active against theeight tested fungi to different degrees.The antifungal activities of compounds 6,7,8,10,11 and 21are 4~20 times more active than that of naftifine,Compounds 8,10,11 and 21 are 2~10 times moreactive than butenafine.Compounds 8,9,10, 11 and 21 are 8~15 times more active than clotrimazoleagainst *Sporotrichum schenckii* and *Aspergillus fumigatus*, Compounds 7,8,9 and 21 also showedcomparatively high activities against *Cryptoccocus neoformans*,with MIC from0.31μg · ml^{-1} to 1.25μg · ml^{-1}.

Keywords: Antifungal activity Substituted benzylamines

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作者简介:

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